

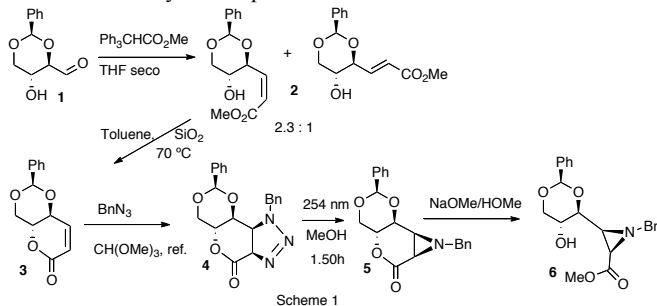
The Synthesis of an Homochiral Aziridine as a Useful Synton to Iminosugars and Amino Acid Compounds

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The starting aldehyde **1** is described in lit., obtained from D-glucose.^[1] It suffer elongation by a Wittig reaction giving 2.3:1 ratio of the *cis* and *trans* isomers **2** respectively. The mixture was solubilized in toluene, and silica was added. The mixture was heated in the rotary evaporator until the *cis* isomer disappeared. The desired δ -lactam **3** was obtained. The α,β -unsaturated system of the lactam was looked as an electron-withdrawing dipolarophile, combined with the electron rich benzylazide, as dienophile and heated under an acid scavenger (trimethylorthoformate). A totally selective reaction occurred giving compound **4** in 88% yield. Photolysis of the compound **4** gave the final aziridine **5** after 1h 50 min in MeOH. Finally the lactam was opened again with sodium methoxide giving **6**. The NMR of the crucial compounds will be presented together with an X-ray of compound **4**.



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References: [1] Baker, S.R.; Clissold, D.W.; McKillop, A. *Tetrahedron Lett.* 1988, 29, 991-994; Zimmermann, P.; Schmidt, R.R. *Liebigs Annal. Chem.* 1988, 663-667.